EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	163	514/45.ccls.	US-PGPUB	OR	ON	2005/12/21 16:31
L2	236	514/46.ccls.	US-PGPUB	OR	ON	2005/12/21 16:31
L3	162	514/47.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L4	51	514/48.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L5	218	514/49.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L6	251	514/50.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L7	52	514/51.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L8	856	1 2 3 4 5 6 7	US-PGPUB	OR	ON	2005/12/21 16:32
L9	282	8 and (hiv hbv hcv hdv hepatitis)	US-PGPUB	OR	ON	2005/12/21 16:32
L10	135	8 and (hiv hbv hcv hdv hepatitis). clm.	US-PGPUB	OR	ON	2005/12/21 16:32
S1	2	"6004939".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/12/21 14:01
S2	3	"20020055483"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/12/21 14:50
S3	3	"6908924".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/12/21 16:31

(09/834,596)

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=> file registry
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY     SESSION
FULL ESTIMATED COST                                       0.42        0.42

FILE 'REGISTRY' ENTERED AT 13:53:08 ON 21 DEC 2005
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provided by InfoChem.

STRUCTURE FILE UPDATES:   20 DEC 2005  HIGHEST RN 870448-61-6
DICTIONARY FILE UPDATES:  20 DEC 2005  HIGHEST RN 870448-61-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*************************************************************************
*                                                                       *
* The CA roles and document type information have been removed from     *
* the IDE default display format and the ED field has been added,       *
* effective March 20, 2005.  A new display format, IDERL, is now        *
* available and contains the CA role and document type information.     *
*                                                                       *
*************************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> e 688036-01-3/rn
E1            1     688035-99-6/RN
E2            1     688036-00-2/RN
E3            1 --> 688036-01-3/RN
E4            1     688036-02-4/RN
E5            1     688036-03-5/RN
E6            1     688036-04-6/RN
E7            1     688036-05-7/RN
E8            1     688036-06-8/RN
E9            1     688036-07-9/RN
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E11           1     688036-09-1/RN
E12           1     688036-10-4/RN

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L1            1 688036-01-3/RN

=> e 445249-34-3/rn
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Searched reg #'s for cpds. that met limitations of claims

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=> s e3
L2            1 445249-34-3/RN

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=> s e3
L3            1 367491-97-2/RN

=> e 219841-81-3/rn
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E2            1     219841-80-2/RN
E3            1 --> 219841-81-3/RN
E4            1     219841-82-4/RN
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E6            1     219841-84-6/RN
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E8            1     219841-86-8/RN
E9            1     219841-87-9/RN
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E11           1     219841-89-1/RN
E12           1     219841-90-4/RN

=> s e3
L4            1 219841-81-3/RN

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                            0.86        1.28

FILE 'CAPLUS' ENTERED AT 13:54:20 ON 21 DEC 2005
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FILE COVERS 1907 - 21 Dec 2005 VOL 143 ISS 26
FILE LAST UPDATED: 20 Dec 2005 (20051220/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

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=> s l1
L5              1 L1

=> s l2
L6              4 L2

=> s l3
L7              2 L3

=> s l4
L8              3 L4

=> l5 l6 l7 l8
L5 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l5 l6 l7 l8
MISSING OPERATOR L5 L6
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l5 or l6 or l7 or l8
L9              8 L5 OR L6 OR L7 OR L8

=> d 1-8 l9 bib abs hitstr

L9   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:447845  CAPLUS
DN   143:125824
TI   A Virtual Screening Approach for Thymidine Monophosphate Kinase Inhibitors
     as Antitubercular Agents Based on Docking and Pharmacophore Models
AU   Gopalakrishnan, B.; Aparna, V.; Jeevan, J.; Ravi, M.; Desiraju, G. R.
CS   Bioinformatics Division, Advanced Technology Centre, TATA Consultancy
     Services Limited, Hyderabad, 500 081, India
SO   Journal of Chemical Information and Modeling (2005), 45(4), 1101-1108
     CODEN: JCISD8; ISSN: 1549-9596
PB   American Chemical Society
DT   Journal
LA   English
AB   Docking and pharmacophore screening tools were used to examine the binding
     of ligands in the active site of thymidine monophosphate kinase of
     Mycobacterium tuberculosis. Docking anal. of deoxythymidine monophosphate
     (dTMP) analogs suggests the role of hydrogen bonding and other weak
     interactions in enzyme selectivity. Water-mediated hydrogen-bond networks
     and a halogen-bond interaction seem to stabilize the mol. recognition. A
     pharmacophore model was developed using 20 dTMP analogs. The
     pharmacophoric features were complementary to the active site residues
     involved in the ligand recognition. On the basis of these studies, a
```

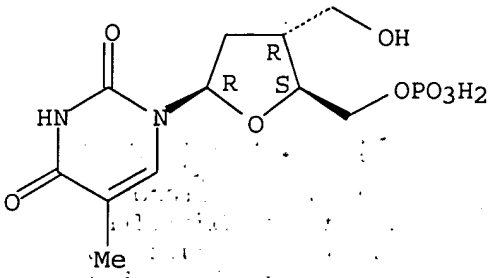
composite screening model that combines the features from both the docking anal. and the pharmacophore model was developed. The composite model was validated by screening a database spiked with 47 known inhibitors. The model picked up 42 of these, giving an enrichment factor of 17. The validated model was used to successfully screen an inhouse database of about 500,000 compds. Subsequent screening with other filters gave 186 hit mols.

IT **445249-34-3**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virtual screening approach for thymidine monophosphate kinase inhibitors as antitubercular agents based on docking and pharmacophore models)

RN 445249-34-3 CAPLUS

CN 5'-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:263230 CAPLUS

DN 140:391434

TI Synthesis of potentially antiviral 2',3'-dideoxy-2'-fluoro-3'-(hydroxyamino)nucleosides

AU Wang, Songqing; Chang, Junbiao; Pan, Shifeng; Zhao, Kang

CS College of Pharmaceuticals and Biotechnology, Tianjin University, Tianjin, 300072, Peop. Rep. China

SO Helvetica Chimica Acta (2004), 87(2), 327-339
CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

OS CASREACT 140:391434

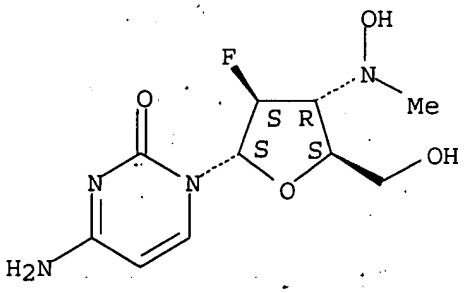
AB A series of novel 3'-(alkyl(hydroxy)amino)-2'-fluoronucleoside analogs were prepared via conjugate addition of N-methylhydroxylamine to various 2-fluorobutenolides. The products were obtained as single isomers under absolute control of stereochem. The crucial N-demethylation was readily achieved by means of DDQ oxidation, followed by nitrone/oxime exchange reaction. By this procedure, a variety of alkyl groups could be efficiently introduced at the 3'-N-atom of the nucleoside analogs, some of which might display potentially interesting anti-HIV properties.

IT **219841-81-3P 688036-01-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of potentially antiviral N-alkyl dideoxyfluoro(hydroxyamino)nucleosides via stereoselective conjugate addition followed by N-demethylation)

RN 219841-81-3 CAPLUS

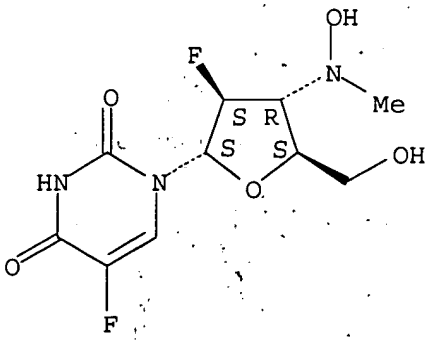
CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 688036-01-3 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)-α-D-arabinofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

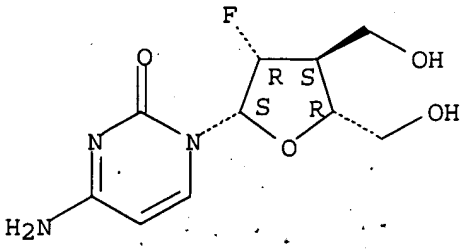


RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:184135 CAPLUS
DN 141:7386
TI Synthesis of β-L-2',3'-dideoxy-2'-fluoro-3'-hydroxymethylarabinofuranosyl pyrimidine nucleosides
AU Song, Jian; Wang, Xiao Lei; Xiang, Yue Jun; Chu, Chung K.; Schinazi, Raymond; Zhao, Kang
CS The College of Pharmaceuticals and Biotechnology, Tianjin University, Tianjin, 300072, Peop. Rep. China
SO Chinese Chemical Letters (2004), 15(2), 135-137
CODEN: CCLEE7; ISSN: 1001-8417
PB Chinese Chemical Society
DT Journal
LA English
OS CASREACT 141:7386
AB β-L-2',3'-Dideoxy-2'-fluoro-3'-hydroxymethylarabinofuranosylthymine and cytosine were synthesized from L-xylose and found to be inactive against HIV-1 in acutely infected lymphocytes (no data).
IT **367491-97-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of β-L-dideoxyfluorohydroxymethyl arabinofuranosyl pyrimidine nucleosides)
RN 367491-97-2 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethyl)-

β-L-arabinofuranosyl]- (9CI) (CA INDEX NAME)

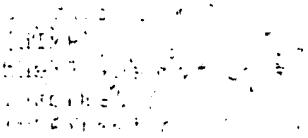
Absolute stereochemistry.

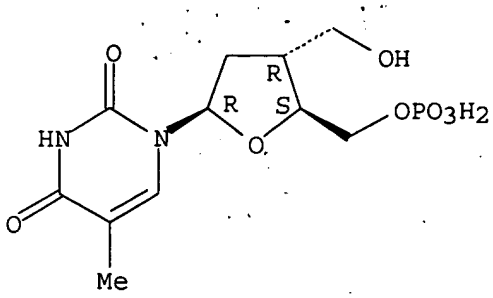


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:591551 CAPLUS
DN 139:270256
TI 3'-C-Branched-Chain-Substituted Nucleosides and Nucleotides as Potent Inhibitors of Mycobacterium tuberculosis Thymidine Monophosphate Kinase
AU Vanheusden, Veerle; Munier-Lehmann, Helene; Froeyen, Matheus; Dugue, Laurence; Heyerick, Arne; De Keukeleire, Denis; Pochet, Sylvie; Busson, Roger; Herdewijn, Piet; Van Calenbergh, Serge
CS Laboratory for Medicinal Chemistry (FFW), Ghent University, Ghent, 9000, Belg.
SO Journal of Medicinal Chemistry (2003), 46(18), 3811-3821
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:270256
AB Thymidine monophosphate kinase (TMPK) of Mycobacterium tuberculosis (TMPKmt) represents an attractive target for blocking the bacterial DNA synthesis. In an attempt to find high-affinity inhibitors of TMPKmt, a cavity in the enzyme at the 3'-position was explored via the introduction of various substituents at the 3'-position of the thymidine monophosphate (dTMP) scaffold. Various 3'-C-branched chain substituted nucleotides in the 2'-deoxyribo and ribo series were synthesized from one key intermediate. 2'-Deoxy analogs proved to be potent inhibitors of TMPKmt:3'-CH2NH2 (4), 3'-CH2N3 (3), and 3'-CH2F (5) nucleotides exhibit the highest affinities within this series, with Ki values of 10.5, 12, and 15 μM, resp. These results show that TMPKmt tolerates the introduction of sterically demanding substituents at the 3'-position. Ribo analogs experience a significant affinity decrease, which is probably due to steric hindrance of Tyr103 in close vicinity of the 2'-position. Although the 5'-O-phosphorylated compds. have somewhat higher affinities for the enzyme, the parent nucleosides generally exhibit affinities for TMPKmt in the same order of magnitude and display a superior selectivity profile vs. human TMPK. This series of inhibitors holds promise for the development of a new class of antituberculosis agents.
IT **445249-34-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship of substituted nucleosides and nucleotides as potent inhibitors of Mycobacterium tuberculosis thymidine monophosphate kinase)
RN 445249-34-3 CAPLUS
CN 5'-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

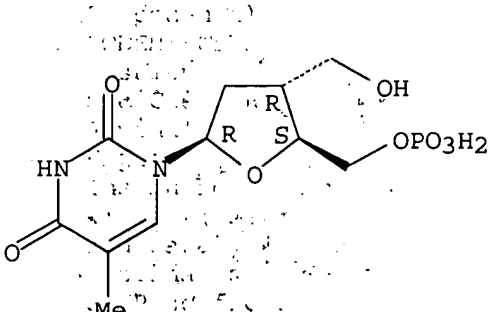




RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

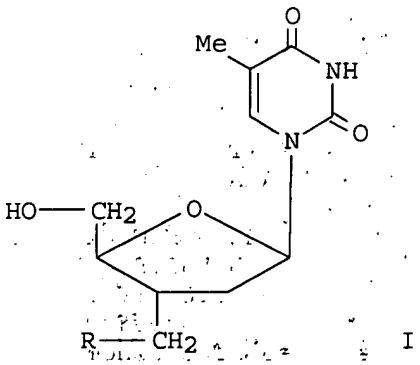
L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:775003 CAPLUS
DN 138:348290
TI Thymidine (monophosphate) analogues as Mycobacterium tuberculosis thymidylate kinase inhibitors
AU Van Rompaey, Philippe; Veerle, Vanheusden; Pochet, Sylvie; Munier-Lehmann, Helene; Froeyen, Matheus; Herdewijn, Piet; Van Calenbergh, Serge
CS Laboratory for Medicinal Chemistry, Ghent University, Ghent, B-9000, Belg.
SO Collection Symposium Series (2002), 5(Chemistry of Nucleic Acid Components), 393-395
CODEN: CSYSFN
PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DT Journal
LA English
AB The authors introduced Mycobacterium tuberculosis thymidine monophosphate kinase (TMPKmt), a key enzyme of nucleotide metabolism with unique structural and catalytic features, as a potentially attractive target for the rational design of inhibitors. Structural modification of the dTMP-scaffold resulted in the identification of nucleosidic inhibitors of TMPKmt, that exhibit affinities comparable to the natural substrate. A brief symposium summarizing affinities of some thymidine analogs for Mycobacterium tuberculosis thymidine monophosphate kinase is presented with some discussion on the structure-activity.
IT **445249-34-3**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thymidine monophosphate analogs as Mycobacterium tuberculosis thymidylate kinase inhibitors)
RN 445249-34-3 CAPLUS
CN 5'-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:267567 CAPLUS
DN 137:155139
TI Structure-based design of inhibitors for M. Tuberculosis thymidine monophosphate kinase
AU Vanheusden, Veerle; Herdewijn, Piet; Van Calenbergh, Serge
CS Laboratory for Medicinal Chemistry (FFW), Rijksuniversiteit Gent, Ghent, B-9000, Belg.
SO Journal de Pharmacie de Belgique (2002), (Hors Serie 1), 41-43
CODEN: JPBEAJ; ISSN: 0047-2166
PB Association Pharmaceutique Belge, Service Scientifique
DT Journal
LA English
OS CASREACT 137:155139
GI

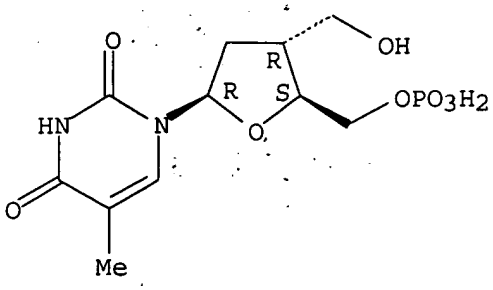


I

AB By replacing the 3'-OH group of thymidine, several 3'-C-branched nucleosides and nucleotides were prepared, and tested for their inhibitional activity against M. tuberculosis thymidine monophosphate kinase (TB TMPK). Compds. (I; R = OH, F, N3, or NH2) and their corresponding 5'-O-monophosphates were prepared from 1,2-O-isopropylidene-α-D-xylo-furanose by a series of reactions including Swern oxidation, Wittig reaction, Vorbruggen base coupling, reduction, and fluorination. The 5-OH compds. showed Ki values of 10.5 - 20 μM against TB TMPK; the 5-O-monophosphates were more active, with Ki 29 - 60.5 μM.

IT **445249-34-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and evaluation of as inhibitors of M. tuberculosis thymidine monophosphate kinase)
RN 445249-34-3 CAPLUS
CN 5'-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:780927 CAPLUS
DN 135:318659
TI Preparation of 3'-or 2'-hydroxymethyl substituted nucleoside and nucleotides for treatment of hepatitis virus infections
IN Watanabe, Kyoichi A.; Pai, Balakrishna S.
PA Pharmasset, Ltd., Barbados
SO PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079246	A2	20011025	WO 2001-US12050	20010413
	WO 2001079246	A3	20020815		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	US 2002055483	A1	20020509	US 2001-834596	20010413
	EP 1284741	A2	20030226	EP 2001-932551	20010413
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	JP 2003532643	T2	20031105	JP 2001-576844	20010413
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PRAI	US 2000-197068P	P	20000413		
	US 2000-202663P	P	20000508		
	WO 2001-US12050	W	20010413		

OS MARPAT 135:318659
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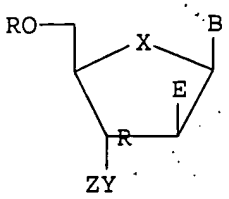

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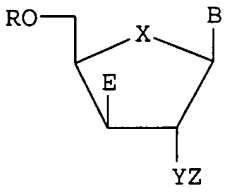

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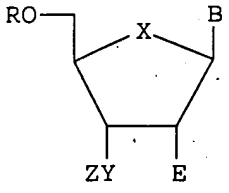
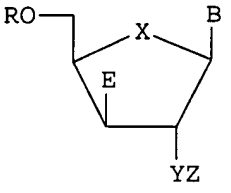


III



IV

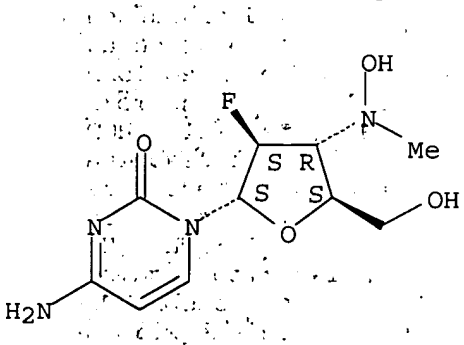
AB The present invention relates to a composition for and a method of treating hepatitis B virus (HBV) infection, hepatitis C virus (HCV) infection, hepatitis D virus (HDV) infection or a proliferative disorder in a patient using an effective amount of a compound selected from the group consisting of nucleoside or nucleotide I-IV mixts. of two or more wherein E is selected from the group consisting of H, OH, OMe, SH, SMe, NH2, NHMe, N, F, Cl, Br, COH, CO2-alkyl, OPh, OPhNO, NO, NO2, SCN, OCN, NCS, NCO, SOMe, SOMe; X is selected from the group consisting of O, S, NH, CH, CHF, CF; Y is selected from the group consisting of CH, NH, NOH, NMe, NEt, NOMe, CHF, CF; Z is selected from the group consisting of H, OH, OMe, SH, SMe, F, Cl, Br, I, NH, NHMe; B is a nucleobase, R is a phosphate derivative Pharmaceutical compns. comprising these compds. in combination with other HBV, HCV, or HDV agents is also disclosed. Thus, 1-[2,3-Dideoxy-2-β-fluoro-3-(N-hydroxy-N-iso-butylamino)-α-D-arabinofuranosyl]-5-fluoro-uracil was prepared and tested in vitro for its antiviral activity.

IT **219841-81-3P 367491-97-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of or hydroxymethyl substituted nucleoside and nucleotides for treatment of hepatitis virus infections)

RN 219841-81-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

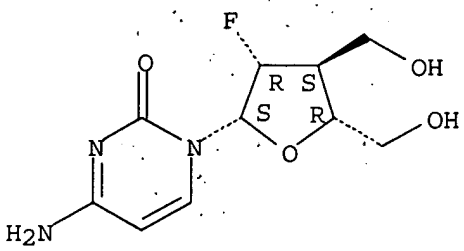


RN 367491-97-2 CAPLUS

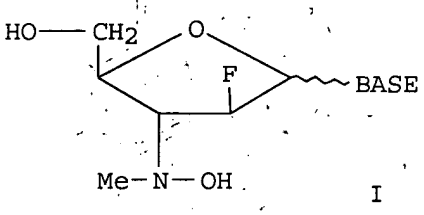
CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethyl)-

β-L-arabinofuranosyl]- (9CI) (CA INDEX NAME)

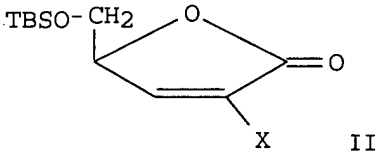
Absolute stereochemistry.



L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:782629 CAPLUS
DN 130:125332
TI Concerted Conjugate Addition of Nucleophiles to Alkenoates. 2. Synthesis of 2',3'-Dideoxy-2'-β-fluoro-3'-(N-hydroxy-N- methylamino)-D-arabinofuranosyl Nucleosides
AU Pan, Shifeng; Wang, Jianwu; Zhao, Kang
CS Department of Chemistry, New York University, NY, 10003, USA
SO Journal of Organic Chemistry (1999), 64(1), 4-5
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
GI



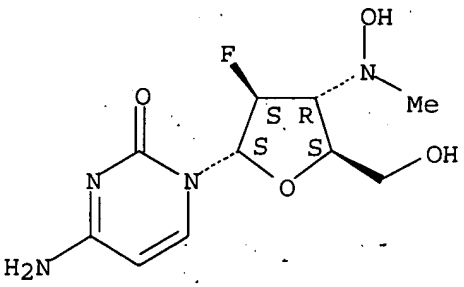
I



II

AB Synthesis of 2',3'-dideoxy-2'-fluoro-3'-(N-hydroxyl-N-methylamino)-D-arabino-furanosyl nucleosides (I; base = uracil, thymine, cytosine), via conjugate addition of N-methyl-hydroxylamine to lactone (II; X = H, F) is reported. The reaction took place in a regio- and stereo-specific manner, determined by the bulky 5'-protecting group. Preliminary results showed both α- and β-anomers of I (base = cytosine) had anti-HIV activity in vitro, and high toxicity of β-anomer against CEM cell lines.
IT **219841-81-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of in the synthesis of 2',3'-dideoxy-2'-β-fluoro-3'-(N-hydroxy-N- methylamino)-D-arabinofuranosyl nucleosides)
RN 219841-81-3 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)-α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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